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L1 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:832612 CAPLUS
DN 137:337889
TI Preparation of piperidinyl-substituted benzoxazolones, indolones, benzimidazolones, and benzimidazolimines with nociceptin affinity for treatment of pain
IN Sun, Qun; Goehring, R. Richard; Kyle, Donald; Chen, Zhengming; Victory, Sam; Whitehead, John
PA Euro-Celtique, S.A., Luxembourg
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085357	A1	20021031	WO 2002-US12351	20020418
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	US 20030069249	A1	20030410	US 2002-126471	20020418 <--
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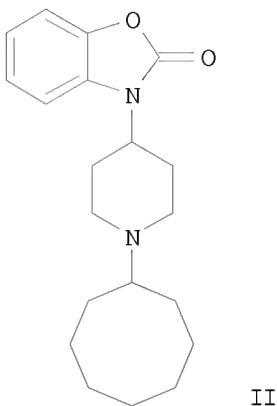
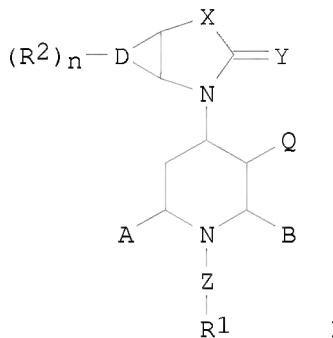
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US 2005-32955	A3	20050111
AU 2005-227380	A3	20051027

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 137:337889

GI



AB Title compds. I [wherein D = cycloalkyl, heterocyclyl, or (hetero)aryl; n = 0-3; A, B, and Q = independently H, (cyclo)alkyl, (cycloalkoxy), CH₂OH, NH₂, hydroxylalkyl, aminocarbonyl, (di)alkylaminocarbonyl, acylamino(alkyl), amido, or sulfonylaminoalkyl; or AB, BQ, or AQ = C₂-6 bridge; X = C, N, or O; Y = O; or when X = N, Y = NCN; Z = a bond or (un)substituted alkylene, NH, CH₂O, CH₂NH, CH₂N(Me), NHCH₂, CH₂CONH, NHCH₂CO, CH₂CO, COCH₂, CH₂COCH₂, CH(Me), CH:, O, or CH:CH; R₁ = H, (cyclo)alkyl, (cyclo)alkenyl, NH₂, (cyclo)alkylamino, carboxy(alkyl), CN, cyano(cyclo)alkyl, NH₂SO₂(alkyl), NH₂CO, (di)alkylaminocarbonyl, PhCH₂, mono-, bi- or tricyclic (hetero)aryl, hetero bicyclic ring, or spiro ring; or pharmaceutically acceptable salts or solvates thereof] were prepared as opioid receptor-like receptor 1 (ORL1) agonists and antagonists for treatment of pain. For example, 2-aminophenol was condensed with 1-(tert-butoxycarbonyl)-4-piperidinone using Na(AcO)₃BH and DCE in AcOH to give the disubstituted amine (94%). Cyclization with triphosgene in the presence of DIEA in THF gave the protected piperidinyl 2-benzoxazolone (78%). Deprotection (66%) followed by alkylation afforded 3-[1-(cyclooctyl)-4-piperidinyl]-2H-benzoxazol-2-one (II), which exhibited nociceptin affinity at the ORL1 receptor with K_i of 16 nM.

OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANAYLYZE IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.

For a list of commands available to you in the current file, enter

"HELP COMMANDS" at an arrow prompt (=>).

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ENTER ANSWER NUMBER OR RANGE (1-):1
ENTER DISPLAY CODE (TI) OR ?:rn
L2          ANALYZE L1 1 RN :      158 TERMS

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FULL ESTIMATED COST           20.91       21.13

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CA SUBSCRIBER PRICE            -0.85       -0.85
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FILE 'REGISTRY' ENTERED AT 10:24:53 ON 03 SEP 2010
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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STRUCTURE FILE UPDATES: 2 SEP 2010 HIGHEST RN 1239943-81-7
 DICTIONARY FILE UPDATES: 2 SEP 2010 HIGHEST RN 1239943-81-7

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2010.

Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
 predicted properties as well as tags indicating availability of
 experimental property data in the original document. For information
 on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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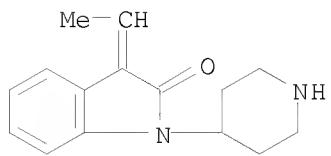
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=> s 14 and benzimida?
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L5          26 L4 AND BENZIMIDA?

=> s 14 not 15
L6          81 L4 NOT L5
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=> d scan

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IN  2H-Indol-2-one, 3-ethylidene-1,3-dihydro-1-(4-piperidinyl)-
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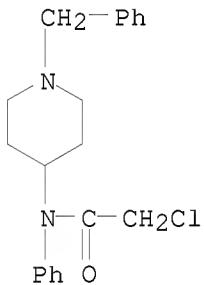
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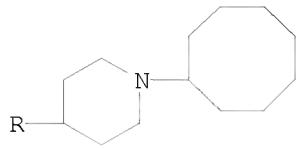
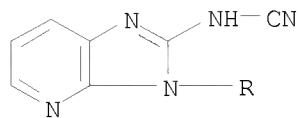
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L8  29 ANSWERS  REGISTRY  COPYRIGHT 2010 ACS on STN
IN  Acetamide, 2-chloro-N-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]-
MF  C20 H23 Cl N2 O
CI  COM
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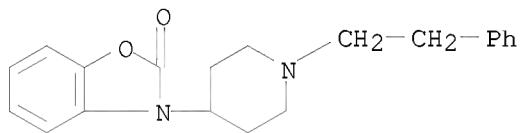
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IN  Cyanamide, [3-(1-cyclooctyl-4-piperidinyl)-3H-imidazo[4,5-b]pyridin-2-
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MF  C20 H28 N6
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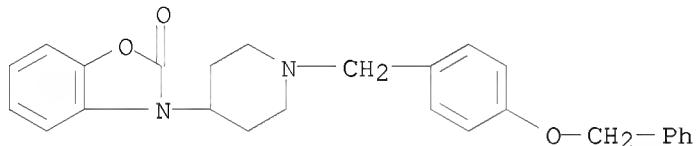
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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MF C20 H22 N2 O2



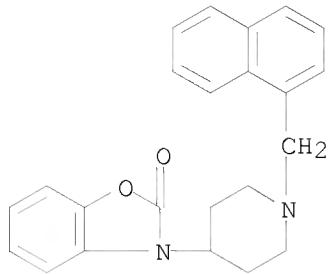
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piperidinyl]-
MF C26 H26 N2 O3



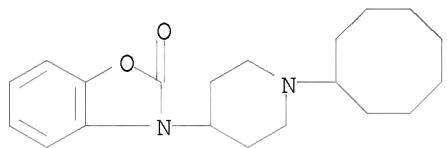
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MF C23 H22 N2 O2



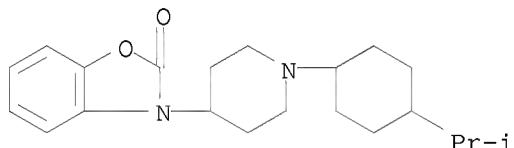
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MF C20 H28 N2 O2



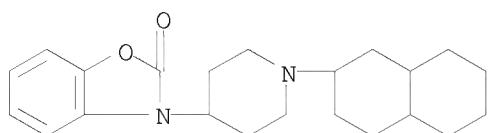
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MF C21 H30 N2 O2



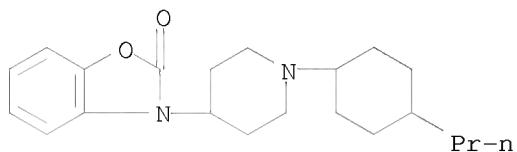
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MF C22 H30 N2 O2



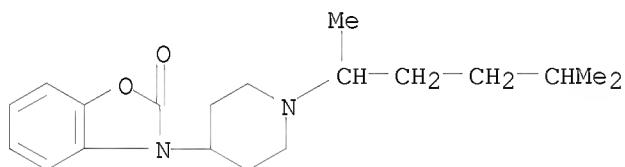
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MF C21 H30 N2 O2



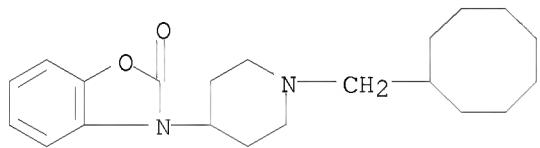
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IN 2(3H)-Benzoxazolone, 3-[1-(1,4-dimethylpentyl)-4-piperidinyl]-
MF C19 H28 N2 O2



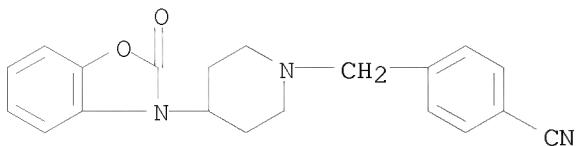
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MF C21 H30 N2 O2



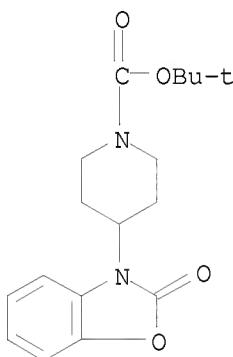
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MF C20 H19 N3 O2



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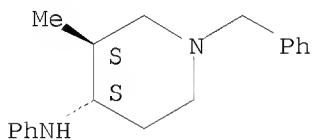
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 IN 1-Piperidinecarboxylic acid, 4-(2-oxo-3(2H)-benzoxazolyl)-,
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 MF C17 H22 N2 O4



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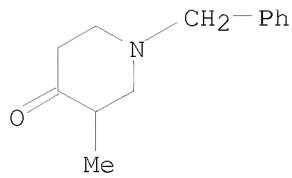
L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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 (3R,4R)-rel-
 MF C19 H24 N2
 CI COM

Relative stereochemistry.



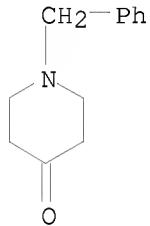
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 CI COM



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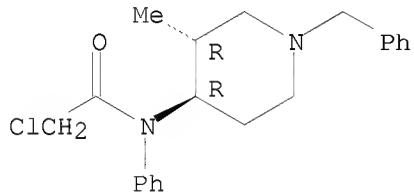
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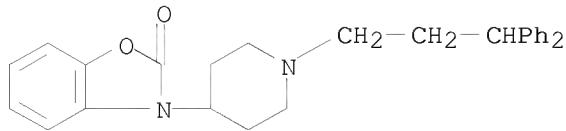
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Relative stereochemistry.



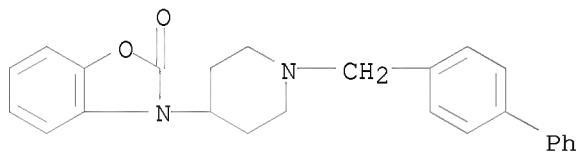
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 MF C27 H28 N2 O2



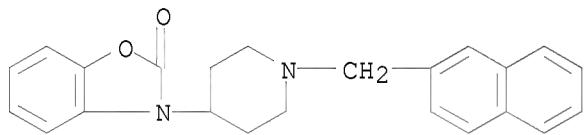
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MF C25 H24 N2 O2



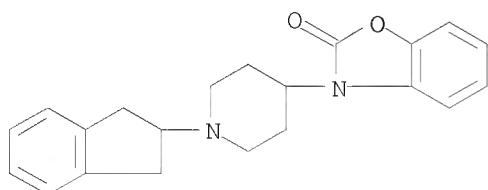
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MF C23 H22 N2 O2



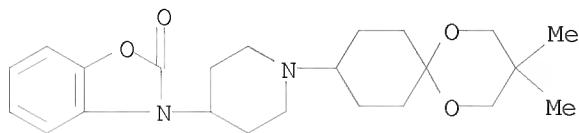
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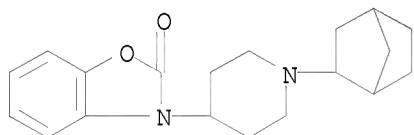
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 2(3H)-Benzoxazolone, 3-[1-(3,3-dimethyl-1,5-dioxaspiro[5.5]undec-9-
yl)-4-piperidinyl]-
MF C23 H32 N2 O4



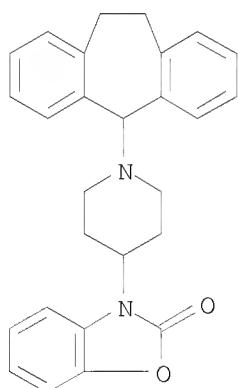
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 2(3H)-Benzoxazolone, 3-(1-bicyclo[2.2.1]hept-2-yl-4-piperidinyl)-
MF C19 H24 N2 O2



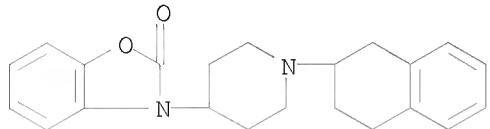
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN 2(3H)-Benzoxazolone, 3-[1-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-
yl)-4-piperidinyl]-
MF C27 H26 N2 O2



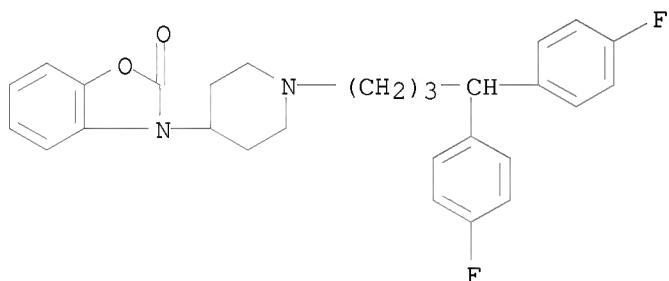
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN 2(3H)-Benzoxazolone, 3-[1-(1,2,3,4-tetrahydro-2-naphthalenyl)-4-piperidinyl]-
MF C22 H24 N2 O2



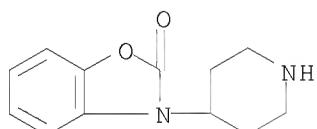
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN 2(3H)-Benzoxazolone, 3-[1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl]-
MF C28 H28 F2 N2 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

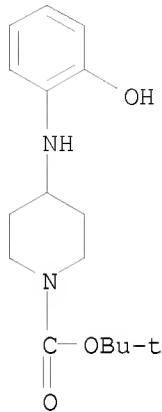
L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 2(3H)-Benzoxazolone, 3-(4-piperidinyl)-
MF C12 H14 N2 O2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

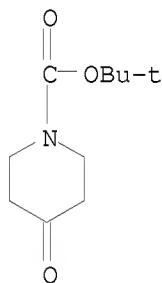
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IN 1-Piperidinecarboxylic acid, 4-[(2-hydroxyphenyl)amino]-,
1,1-dimethylethyl ester
MF C16 H24 N2 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN 1-Piperidinecarboxylic acid, 4-oxo-, 1,1-dimethylethyl ester
MF C10 H17 N O3
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED